Claims

1. A compound of the general structural formula (I):

$$X^{21}\text{-}X^{22}\text{-}X^{23}\text{-}X^{24}\text{-}X^{25}\text{-}X^{26}\text{-}X^{27}\text{-}X^{28}\text{-}X^{29}\text{-}X^{30}\text{-}[Y]_m$$

wherein X^{21}-X^{30} are monomeric building blocks, preferably aminocarboxylic acid residues and are derived from a structure in which X^{21} = D-Cys, X^{22} = Asn, X^{23} = Lys, X^{24} = Tyr, X^{25} = Phe, X^{26} = Ser, X^{27} = Asn, X^{28} = Ile, X^{29} = Cys and X^{30} = Trp, Y is a spacer and m is 0 or 1, and the monomeric building blocks are linked via -$CONR^1$ or -NR^1CO bonds, in which R^1 in each case independently is hydrogen, methyl or ethyl, and pharmaceutically acceptable salts and derivatives thereof,

with the proviso that at least one of the amino acid residues X^{21}-X^{30} of the lead structure is replaced by one of the amino acid residues listed below:

X^{21}: Asp, Glu, 2,3-diaminopropionic acid (Dap), 2,4-diaminobutyric acid (Dab), D-penicillanine (D-Pen), allylglycine (Alg), ornithine (Orn), Lys;

X^{22}: Asp, Glu;

X^{23}: Dab, Dap, His, citrulline (Cit), homocitrulline (Hci), norleucine (Nle);

X^{24}: homophenylalanine (Hph), 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Tic), thienylalanine (Thi), Trp, phenylglycin (Phg), 1-naphthylalanine (1-Nal), 2-naphthylalanine (2-Nal), Cha (cyclohexylalanine);

X^{25}: Trp, Tic, Thi, Hph, Phg;

X^{26}: Val;
X^{27}: Asp, Glu;
X^{28}: Cha, 2-aminobutyric acid (Abu), tert-leucine (Tle), α-aminoisobutyric acid (Aib);
X^{29}: Asp, Glu, Dap, Dab, Alg, D-Pen, Orn, Lys;

2. The compound as claimed in claim 1, **characterized in that** at least one of the amino acid residues X^{21}-X^{30} of the lead structure has one of the meanings listed below:
X^{21}: D-Pen;
X^{23}: Dap, Dab, Cit, Hci, Nle, His;
X^{24}: Thi, Hph, Phg, 1-Nal, 2-Nal, Cha;
X^{25}: Thi;
X^{27}: Asp;
X^{28}: Val, Cha.

3. The compound as claimed in claim 1, **characterized in that** at least one of the amino acid residues X^{21}-X^{30} of the lead structure has one of the meanings listed below:
X^{21}: D-Pen;
X^{23}: Dab, Nle, Cit, Hci;
X^{24}: 1-Nal, 2-Nal, Cha;
X^{25}: Thi;
X^{28}: Cha.

4. A compound of the general structural formula (I):

$$\overline{X^{21}\text{-}X^{22}\text{-}X^{23}\text{-}X^{24}\text{-}X^{25}\text{-}X^{26}\text{-}X^{27}\text{-}X^{28}\text{-}X^{29}}\text{-}X^{30}\text{-}[Y]_m$$

wherein X^{21}-X^{30} are monomeric building blocks, preferably aminocarboxylic acid residues and are derived from a structure in which X^{21} = D-Cys, X^{22} = Asn, X^{23} = Dap, Dab or Nle, X^{24} = Tyr, X^{25} = Phe,

X^{26} = Ser, X^{27} = Asn, X^{28} = Ile, X^{29} = Cys and X^{30} = Trp, Y is a spacer and m is 0 or 1, and the monomeric building blocks are linked via $-CONR^1$ or $-NR^1CO$ bonds, in which R^1 in each case independently is hydrogen, methyl or ethyl, and pharmaceutically acceptable salts and derivatives thereof.

SUB A4

5. The compound as claimed in any of the preceding claims,
characterized in that
at least 2 of the amino acid residues X^{22}, X^{23}, X^{24}, X^{25}, X^{26}, X^{27}, X^{28} and X^{30} have the same side chain as an amino acid at the same position in the native uPA sequence.

6. The compound as claimed in claim 5,
characterized in that
at least 2 of the amino acid residues X^{24}, X^{25}, X^{28} and X^{30} have the same side chain as in the native uPA sequence.

SUB A2

7. A pharmaceutical composition, which contains as active substance at least one compound as claimed in any of claims 1 to 6, where appropriate together with pharmaceutically common carriers, excipients or diluents.

8. The use of a compound as claimed in any of claims 1 to 6 for preparing a uPAR antagonist.

9. The use as claimed in claim 8 for controlling disorders associated with uPAR expression, in particular for controlling tumors.

SUB A3

10. The use of a compound as claimed in any of claims 1 to 6 for preparing a targeting vehicle for cells expressing uPAR.

11. The use of a compound as claimed in any of claims 1 to 6 for preparing an angiogenesis inhibitor.

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